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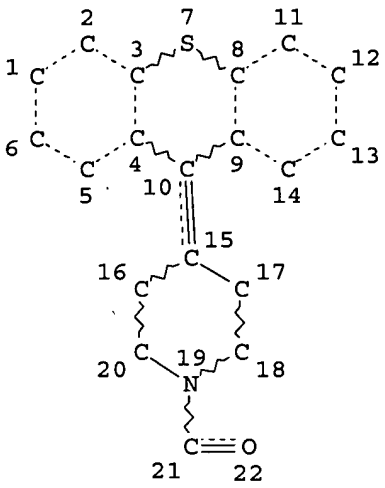

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 16 10
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS 41 ANSWERS
SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 170.60 170.81

FILE 'CAPLUS' ENTERED AT 18:06:17 ON 18 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6 15 L5

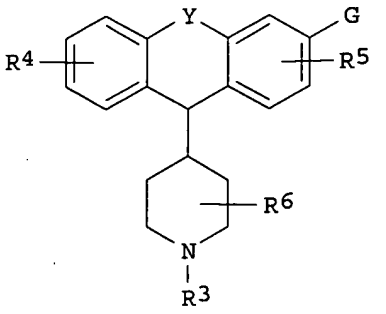
=> d bib abs hitstr 1-15

L6 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:605887 CAPLUS
DN 145:83215
TI Preparation of tricyclic delta-opioid modulators for treating pain and other diseases
IN Carson, John R.; Dax, Scott L.; Decorte, Bart; Liu, Li; McDonnell, Mark; McNally, James J.
PA USA
SO U.S. Pat. Appl. Publ., 61 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006135522	A1	20060622	US 2005-314300	20051221
WO 2006069275	A1	20060629	WO 2005-US46690	20051221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-638314P P 20041222
OS MARPAT 145:83215
GI



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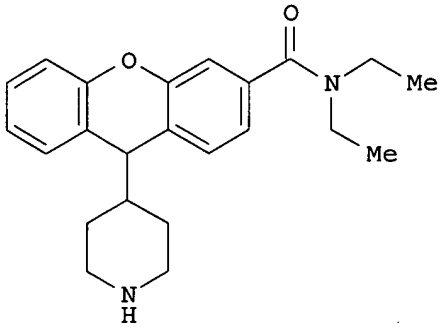


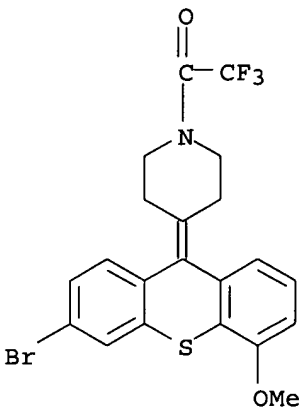
II

AB The invention is directed to delta opioid receptor modulators of general formula I (wherein G = -C(Z)N(R1)R2, (un)substituted C6-10aryl, or (unsubstituted) heterocycle; R1 = H, C1-8alkanyl, C2-8alkenyl, and C2-8alkynyl; R2 = H, C1-8alkanyl, C2-8alkenyl, C2-8alkynyl, C6-10aryl, and C1-8cycloalkanyl, some of which are optionally substituted; R3 = H, C1-8alkanyl, halo1-3(C1-8)alkanyl, C3-8cycloalkanyl, etc.; R4 = 1-3 substituents selected from H, C1-6alkanyl, aryl(C2-6)alkynyl, amino, heterocyclyl, etc. ; R5 = 1-2 substituents selected from H, C1-6alkanyl, C2-6alkenyl, CN, OH, etc.; R6= 1-4 substituents selected from H, C1-6alkanyl, C2-6alkenyl, C1-6alkanyloxy, NH2, etc.; Y = O or S; and Z = O, S, NH, N(C1-6alkanyl), N(OH), N(OC1-6alkanyl), or N(phenyl)). Pharmaceutical and veterinary compns. and methods of treating mild to severe pain and various diseases using compds. of the invention are also described. Methods of preparing I are exemplified. For example, II was prepared from 4-bromo-2-phenoxybenzonitrile in 7 steps via the intermediate 9-oxo-9H-xanthene-3-carboxylic acid. In rat brain δ-opioid receptor binding assays, II had a Ki of 15 nM.

IT 893416-57-4P, 1-[4-(3-Bromo-5-methoxythioxanthen-9-ylidene)piperidin-1-yl]-2,2,2-trifluoroethanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic delta-opioid modulators for treating pain and other diseases)

RN 893416-57-4 CAPLUS

CN Piperidine, 4-(3-bromo-5-methoxy-9H-thioxanthen-9-ylidene)-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633456 CAPLUS
DN 139:154954
TI Medicinal compositions containing gabapentin or pregabalin and N-type calcium channel antagonist
IN Iwayama, Satoshi; Koganei, Hajime; Fujita, Shinichi; Takeda, Tomoko; Yamamoto, Hiroshi; Niwa, Seiji
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

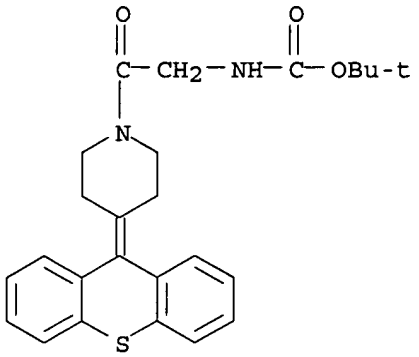
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066040	A1	20030814	WO 2003-JP1163	20030205
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003207219	A1	20030902	AU 2003-207219	20030205
	EP 1481673	A1	20041201	EP 2003-703174	20030205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005009814	A1	20050113	US 2004-911633	20040805
PRAI	JP 2002-28208	A	20020205		
	JP 2002-111068	A	20020412		
	JP 2002-317480	A	20021031		
	WO 2003-JP1163	W	20030205		

OS MARPAT 139:154954
AB Disclosed are medicinal compns. useful as preventives/remedies for pain which comprise gabapentin, pregabalin or pharmaceutically acceptable salts thereof combined with N-type calcium channel antagonists or pharmaceutically acceptable salts thereof having specified structures. A compound N-[3-[4-(5H-dibenzo[a,d][7]annulene-5-ylidene)-1-piperidinyl]-3-oxopropyl]-2,2-dimethylpropanamide (I) was prepared The analgesic effect of oral administration of gabapentin 100 mg/kg combined with the compound I 3 mg/kg in pain rat model was examined
IT 500894-64-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(medicinal compns. containing gabapentin or pregabalin and N-type calcium channel antagonist)

RN 500894-64-4 CAPLUS

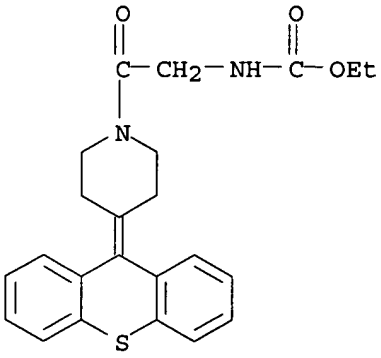
CN Carbamic acid, [2-oxo-2-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 500894-66-6P 500895-32-9P 500895-33-0P
500895-39-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(medicinal compns. containing gabapentin or pregabalin and N-type calcium channel antagonist)

RN 500894-66-6 CAPLUS

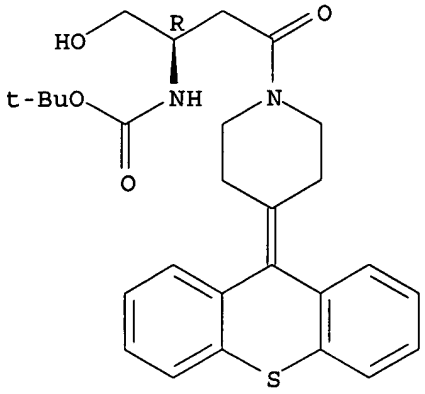
CN Carbamic acid, [2-oxo-2-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 500895-32-9 CAPLUS

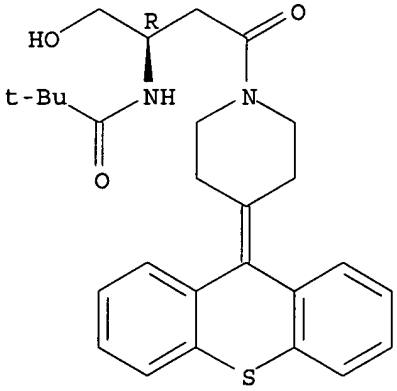
CN Carbamic acid, [(1R)-1-(hydroxymethyl)-3-oxo-3-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



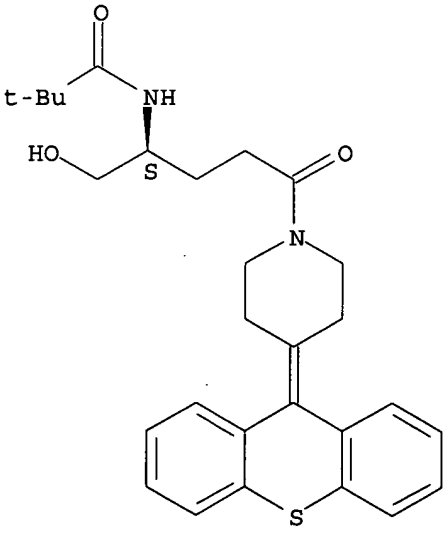
RN 500895-33-0 CAPLUS
CN Propanamide, N-[(1R)-1-(hydroxymethyl)-3-oxo-3-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]propyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

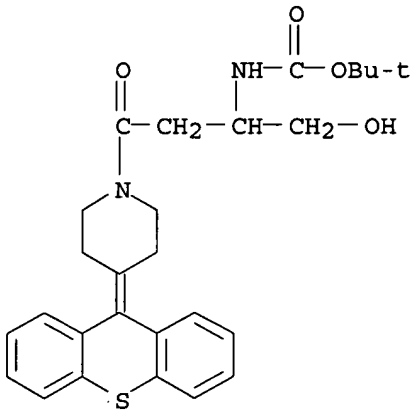


RN 500895-39-6 CAPLUS
CN Propanamide, N-[(1S)-1-(hydroxymethyl)-4-oxo-4-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]butyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

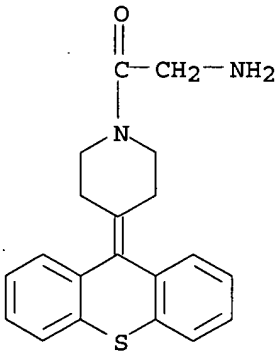
Absolute stereochemistry.



IT 572923-88-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing gabapentin or pregabalin and N-type calcium channel antagonist)
RN 572923-88-7 CAPLUS
CN Carbamic acid, [1-(hydroxymethyl)-3-oxo-3-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



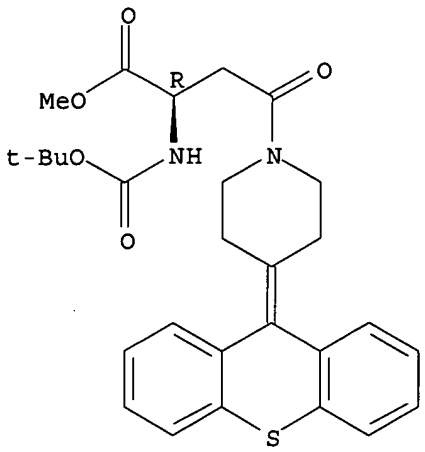
IT 500894-98-4P 500895-61-4P 500895-62-5P
500895-63-6P 500895-64-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-type calcium channel antagonist for medicinal compns. containing gabapentin therewith)
RN 500894-98-4 CAPLUS
CN Piperidine, 1-(aminoacetyl)-4-(9H-thioxanthen-9-ylidene)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

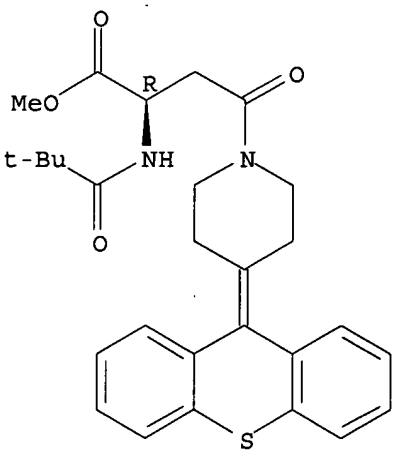
RN 500895-61-4 CAPLUS
CN 1-Piperidinebutanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-γ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



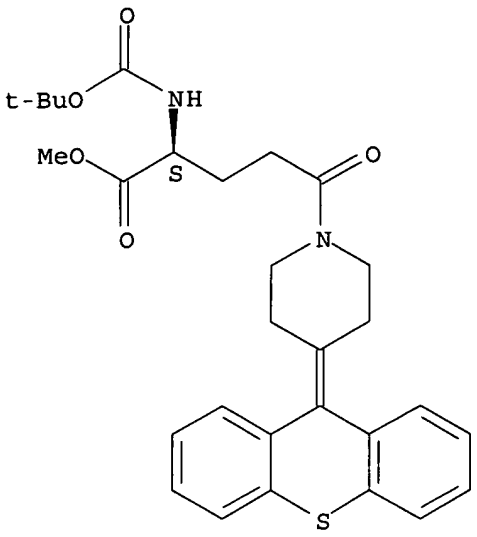
RN 500895-62-5 CAPLUS
CN 1-Piperidinebutanoic acid, α-[(2,2-dimethyl-1-oxopropyl)amino]-γ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



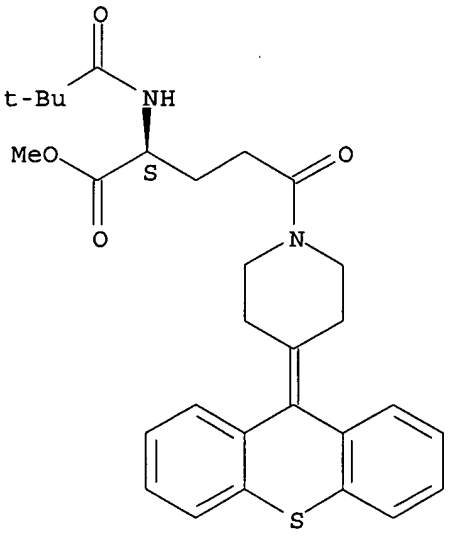
RN 500895-63-6 CAPLUS
CN 1-Piperidinepentanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-δ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 500895-64-7 CAPLUS
CN 1-Piperidinepentanoic acid, α-[(2,2-dimethyl-1-oxopropyl)amino]-δ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:173572 CAPLUS
DN 138:221602
TI Preparation of diarylalkene and diarylalkane derivatives as N-type calcium channel antagonists
IN Yamamoto, Takashi; Niwa, Seiji; Otani, Kayo; Ohno, Seiji; Koganei, Hajime; Iwayama, Satoshi; Takahara, Akira; Ono, Yukitsugu; Takeda, Tomoko; Fujita, Shinichi; Moki, Keiko
PA Ajinomoto Co., Inc., Japan; et al.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018538	A1	20030306	WO 2002-JP8809	20020830
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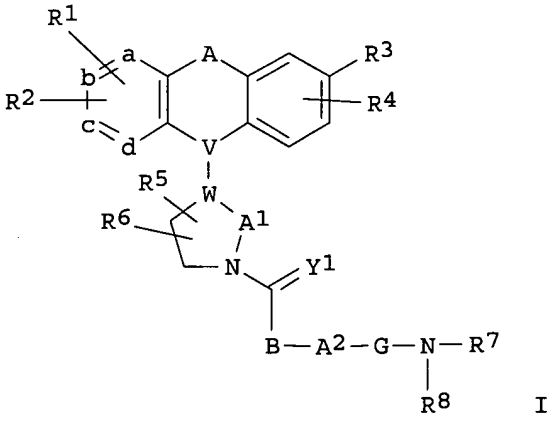
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004167118	A1	20040826	US 2004-787175	20040227
PRAI	JP 2001-263718	A	20010831		
	JP 2002-14387	A	20020123		
	JP 2002-111067	A	20020412		
	WO 2002-JP8809	A1	20020830		

OS MARPAT 138:221602
GI



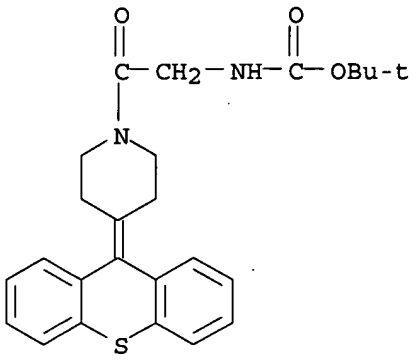
I

AB The title compds. I [A represents CH:CH, etc.; a, b, c, and d each represents CH, etc.; R1, R2, R3, R4, R5, and R6 each represents hydrogen, etc.; V-W represents C:C, etc.; A1 is (CH2)n; n is 0 to 3; Y1 represents oxygen, etc.; B represents (CH2)vCHR21 (v is 0 to 3 and R21 represents hydrogen, lower alkyl, etc.), etc.; G represents CO, a covalent bond, etc.; A2 is (CH2)m; m is 0 to 6; and R7 and R8 each represents hydrogen, lower alkyl, COR18a, COOR20 (R18a and R20 each represents lower alkyl, etc.), etc.] are prepared I are selective N-type calcium channel antagonists. In an in vitro test, compds. of this invention at 10 μM gave 67% to 85% antagonism of N-type calcium channel.

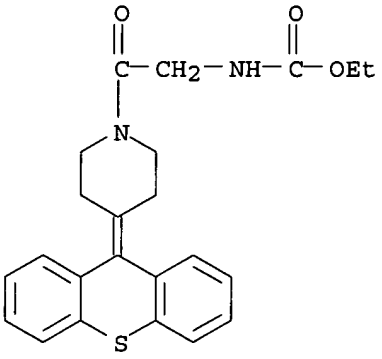
IT 500894-64-4P 500894-66-6P 500895-32-9P
500895-33-0P 500895-39-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylalkene and diarylalkane derivs. as N-type calcium channel inhibitors)

RN 500894-64-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

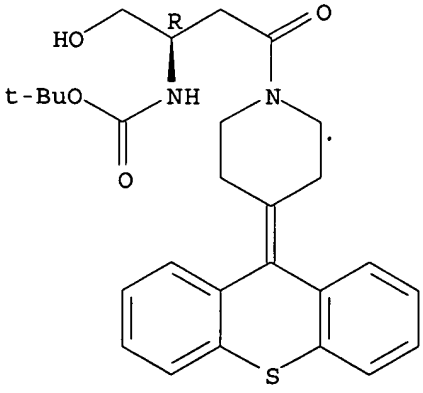


RN 500894-66-6 CAPLUS
CN Carbamic acid, [2-oxo-2-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



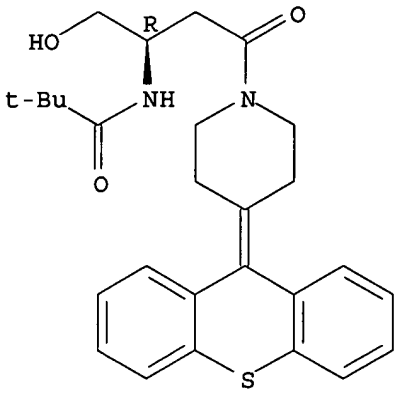
RN 500895-32-9 CAPLUS
CN Carbamic acid, [(1R)-1-(hydroxymethyl)-3-oxo-3-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



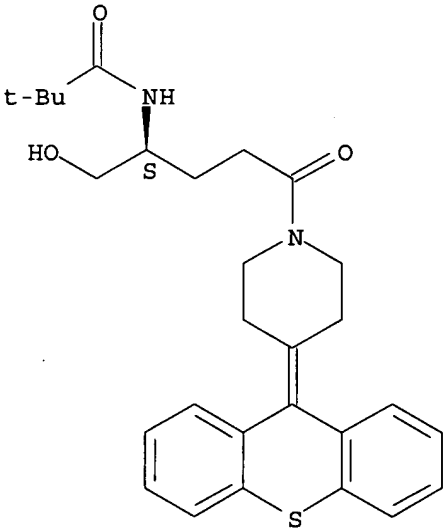
RN 500895-33-0 CAPLUS
CN Propanamide, N-[(1R)-1-(hydroxymethyl)-3-oxo-3-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]propyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

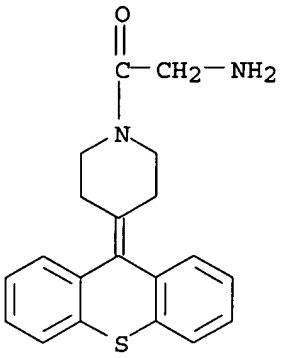


RN 500895-39-6 CAPLUS
CN Propanamide, N-[(1S)-1-(hydroxymethyl)-4-oxo-4-[4-(9H-thioxanthen-9-ylidene)-1-piperidinyl]butyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



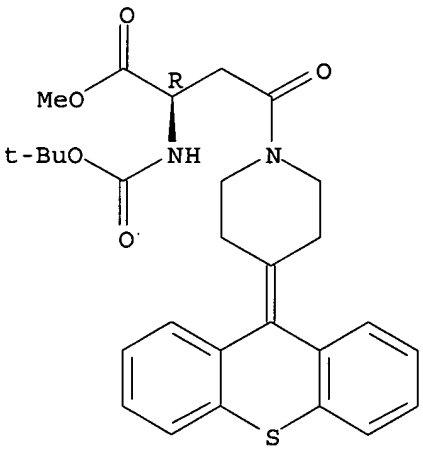
IT 500894-98-4P 500895-61-4P 500895-62-5P
500895-63-6P 500895-64-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diarylalkene and diarylalkane derivs. as N-type calcium channel inhibitors)
RN 500894-98-4 CAPLUS
CN Piperidine, 1-(aminoacetyl)-4-(9H-thioxanthen-9-ylidene)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

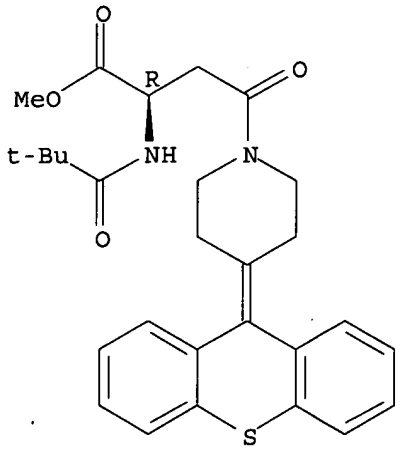
RN 500895-61-4 CAPLUS
CN 1-Piperidinebutanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-γ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



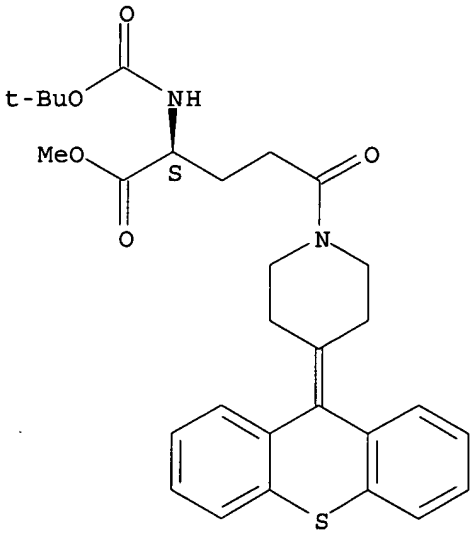
RN 500895-62-5 CAPLUS
CN 1-Piperidinebutanoic acid, α-[(2,2-dimethyl-1-oxopropyl)amino]-γ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



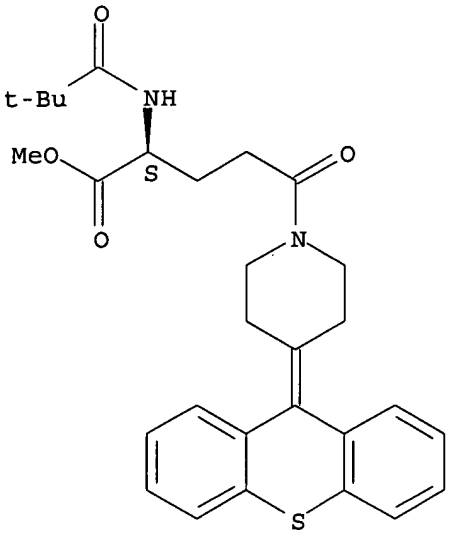
RN 500895-63-6 CAPLUS
CN 1-Piperidinepentanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-δ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 500895-64-7 CAPLUS
CN 1-Piperidinepentanoic acid, α-[(2,2-dimethyl-1-oxopropyl)amino]-δ-oxo-4-(9H-thioxanthen-9-ylidene)-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



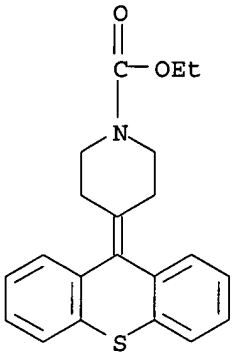
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:349658 CAPLUS
DN 127:75517
TI Synthesis, affinity at 5-HT2A, 5-HT2B and 5-HT2C serotonin receptors and structure-activity relationships of a series of cyproheptadine analogs
AU Honrubia, Maria Angeles; Rodriguez, Jesus; Dominguez, Rosa; Lozoya, Estrella; Manaut, Francesc; Seijas, Julio A.; Villaverde, Maria Carmen; Calleja, Jose M.; Cadavid, Maria Isabel; et al.
CS Department of Pharmacology, Organic and Physical Chemistry, University of Santiago, Santiago de Compostela, E-15706, Spain
SO Chemical & Pharmaceutical Bulletin (1997), 45(5), 842-848
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 127:75517
AB Cyproheptadine (Cyp) is a drug that shows high affinity for type 2(5-HT2)receptors. The authors studied a series of compds. obtained by modification of the tricyclic system of Cyp (dibenzocycloheptadiene ring) to make the thioxanthene, xanthene, dihydrodibenzocycloheptadiene, di-Ph, fluorene, and phenylmethyl analogs. Their activities at the rat cerebral cortex 5-HT2A receptor were (pKi):8.80 (Cyp), 8.60 (thioxanthene analog), 8.40 (xanthene analog), 8.05 (dihydrodibenzocycloheptadiene analog), 7.87 (di-Ph analog), 6.70 (fluorene analog) and 6.45 (phenylmethyl analog); those at the rat stomach fundus 5-HT2B receptor (pA2) were: 9.14 (Cyp), 8.49 (thioxanthene analog), 7.58 (xanthene analog), 7.02 (dihydrodibenzocycloheptadiene analog), 6.07 (di-Ph analog), and undetectable (fluorene analog, phenylmethyl analog); and those at the pig choroidal plexus 5-HT2C receptor (pKi) were: 8.71 (Cyp), 8.68 (thioxanthene analog), 8.58 (xanthene analog), 7.95 (dihydrodibenzocycloheptadiene analog), 7.57 (di-Ph analog), 6.98 (fluorene analog) and 6.63 (phenylmethyl analog). The slopes did not differ significantly from unity. The compds. exhibited the same order of activities at every type of receptor, and the most active mols. presented certain steric (butterfly conformation of the tricyclic system) and electrostatic (proton affinity on the top of the central rings) patterns. It is concluded that the activity of cyproheptadine derivs. at 5-HT2 receptors is related to these mol. features, which make feasible a common disposition to interact with all three 5-HT2 subtypes.
IT 138248-26-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and affinity at 5-HT2A and 5-HT2B and 5-HT2C serotonin receptors and structure-activity relationships of a series of cyproheptadine analogs)

RN 138248-26-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(9H-thioxanthen-9-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:638596 CAPLUS

DN 123:286084

TI Dibenzocycloheptenylidenepiperidine, dibenzocycloheptenylpiperazine, and heterocyclic analogs as PAF antagonists and antihistaminics

IN Wong, Jesse K.; Piwinski, John J.; Green, Michael J.

PA USA

SO U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 595,329,abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5416087	A	19950516	US 1993-39072	19930407
	WO 9206970	A1	19920430	WO 1991-US7170	19911008
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
PRAI	US 1990-595329	B2	19901010		
	WO 1991-US7170	W	19911008		

OS MARPAT 123:286084

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

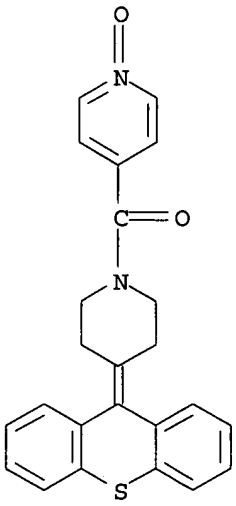
AB Bis-benzo cyclohepta piperidine, piperidylidene and piperazine compds. I [L = N or N+O-, Z = O or S, Y = [C(Ra)2]mX[C(Ra)2]n or II, m and n are integers 0, 1, 2, 3 such that m + n = 0 to 3; when m + n = 1, X = e.g., O, S(O)e where e = 0, 1, or 2; when m + n = 2, X = e.g., O, S(O)e, e = 0-2; when m + n = 3, X = a direct bond; when m + n = 0, X can be any substituent for m + n = 1 and also a direct bond, cyclopropylene,

propenylene; each Ra may be the same or different and each independently represents, e.g., H, C1-6-alkyl; the dotted line between the indicated carbon atoms 5 and 6 represents an optional double bond, such that when a double bond is present, A and B each independently represent R11, OR13, halo or OC(O)R11, and when no double bond is present between carbon atoms 5 and 6, A and B each independently represent H2; (OR13)2; (alkyl and H); (alkyl)2; [H and OC(O)R11], (H and OR11); :O or :NOR14; R1, R2, R3, R4 = e.g., H, halo, CF3; R5, R6 = e.g., H, alkyl, aryl; R7, R8, R9 = e.g., H, halo, CF3; R11 = H, alkyl, aryl; R13 = alkyl, aryl; R14 = H, alkyl; T = CH, C, or N with the dotted line attached to T representing a double bond when T is C and being absent when T is CH or N] and pharmaceutically acceptable salts thereof are disclosed, which possess anti-allergic and/or anti-inflammatory activity. Methods for preparing and using the compds. are also described. Thus, e.g., coupling of 4-(10,11-dihydro-5H-dibenzo[a,d]cycloheptен-5-ylidene)piperidine (III, preparation given) with isonicotinic acid N-oxide afforded the pyridinylcarbonyl N-oxide derivative IV which demonstrated in vitro PAF antagonism IC50 = 1.2 μM, and in vivo inhibition of PAF-induced bronchospasm in guinea pigs of 82% at 3 mg/kg. Pharmaceutical formulations were given.

IT 142714-87-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(dibenzocycloheptenylidenepiperidine, dibenzocycloheptenylpiperazine, and heterocyclic analogs as PAF antagonists and antihistaminics)

RN 142714-87-2 CAPLUS

CN Piperidine, 1-[(1-oxido-4-pyridinyl)carbonyl]-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:183395 CAPLUS

DN 118:183395

TI Novel quinolines, their preparations, and anticancer activity enhancers containing the quinolines

IN Fukazawa, Nobuyuki; Suzuki, Tsuneshi; Otsuka, Kengo; Yano, Osamu; Sato, Wakao; Tsuruo, Takashi

PA Mitsui Toatsu Chemicals, Inc., Japan; Japanese Foundation for Cancer Research

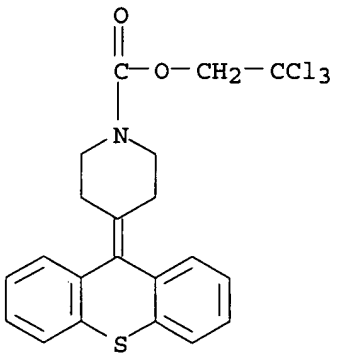
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF

DT Patent

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04235983	A	19920825	JP 1991-3673	19910117
	JP 2579701	B2	19970212		
PRAI	JP 1991-3673		19910117		

OS MARPAT 118:183395
GI For diagram(s), see printed CA Issue.
AB Anticancer activity enhancers contain quinolines I [A = C(R2)(R3)R4, Q; B = condensed benzene ring or heterocycle; R1, R2 = H, OH; R1R2 may form double bond; R3, R4 = substituted Ph, heterocycle; R5 = H, halo, lower alkyl, lower alkoxy, CF3, (substituted) amino, CN, NO2, OH, CO2H, alkoxycarbonyl; Y = (CH2)2 (when R5 ≠ 0), NHCO, NHCH2, CH(OH)CH(OH), SCH2, S] or their salts, prepared by reacting (2,3-epoxypropoxy)quinoline with amines under heating and in the presence of bases. 4-[Hydroxy-bis(4-chlorophenyl)methyl]-N-methylpiperidine (4.0 g) and 4.7 g K2CO3 were suspended in 1,1,2-trichloroethane and reacted with 7.2 g 2,2,2-trichloroethyl chloroformate by refluxing for 13 h to give 4.9 g 4-[hydroxy-bis(4-chlorophenyl)methyl]-N-(2,2,2-trichloroethoxycarbonyl)piperidine. The product (2 g) was stirred with 4.0 g Zn powder in THF-1 M aqueous NH4Cl for 8 h, filtered, and the filtrate was concentrated and heated with 1.0 g 5-(2,3-epoxypropoxy)quinoline and Et3N in isopropanol at 60° for 1 h to give 0.5 g 5-[3-[4-(hydroxy-bis(4-chlorophenyl)methyl]piperidin-1-yl]-2-hydroxypropoxy]quinoline (II). Adriamycin-resistant human ovary cancer cell line 2780AD was cultured in RPMI-1640 medium containing 20 nM vincristine and bovine fetal serum in the presence of 1.0 μg/mL II at 37° for 2 h to show 445% vincristine accumulation in the cells, vs. 100%, for the control.
IT 145298-50-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactino of)
RN 145298-50-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(9H-thioxanthen-9-ylidene)-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

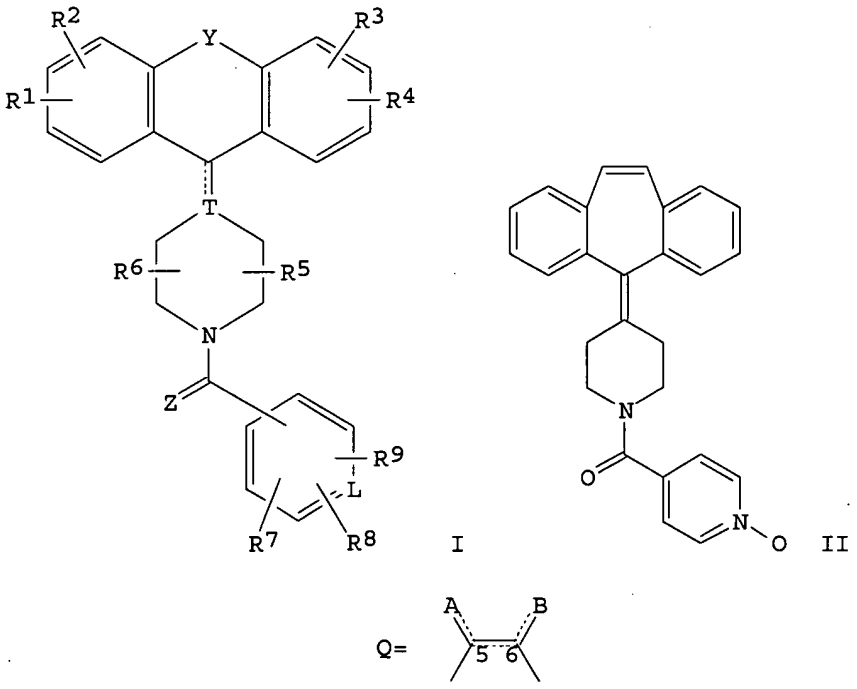


L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:511647 CAPLUS
DN 117:111647
TI Preparation of dibenzocycloheptylidene(pyridinylcarbonyl)piperidine N-oxides and related compounds as platelet-activating factor (PAF) antagonists and antihistamines
IN Wong, Jesse K.; Piwinski, John J.; Green, Michael J.
PA Schering Corp., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9206970	A1	19920430	WO 1991-US7170	19911008
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	CA 2093646	A1	19920411	CA 1991-2093646	19911008
	AU 9188540	A	19920520	AU 1991-88540	19911008
	EP 552245	A1	19930728	EP 1991-918529	19911008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05506249	T	19930916	JP 1991-517936	19911008
	US 5416087	A	19950516	US 1993-39072	19930407
PRAI	US 1990-595329	A2	19901010		
	WO 1991-US7170	A	19911008		

OS MARPAT 117:111647
GI



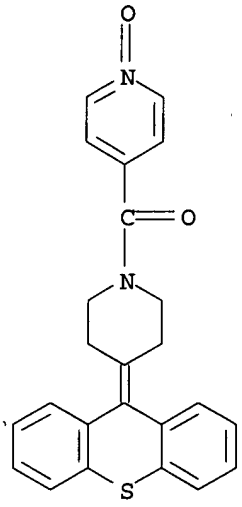
AB The title compds. [I; L = N, N+O-; Z = O, S; Y = (CRa2)mX(CRa2)n, (un)saturated bridge Q; dotted line = optional bond; when bond present then A, B = R11, OR13, halo, etc., when bond absent then A, B = H2, (OR13)2, (alkyl and H), (alkyl)2, etc.; m, n = 0-3, m+n = 0-3; X = O, SO0-2, NR14, CONR14, NR14CO, CSNR14, NR14CS, CO2, O2C, bond, cyclopropylene, propylene, depending on the value of m+n; R14 = H, alkyl; Ra = H, C1-6 alkyl; R1-R4 = H, halo CF3, OR11, NO2, cyano, aryl, (un)substituted alkyl, -alkenyl, etc.; R1R2 = benzo; R3R4 = benzo; R5, R6 = H, aryl, (un)substituted alkyl; R5R6 = O, S; R7-R9 = H, halo, CF3, COR11, SR11, NO2, aryl, etc.; R11 = H, alkyl, aryl; R13 = alkyl, aryl; T = CH, C, N; dotted line attached to T = optional double bond] or their pharmaceutically acceptable salts or solvates, useful as antiallergics and antiinflammatories, were prepared A

solution of 412 mg 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide HCl in 5 mL CH2Cl2 was added dropwise to a mixture of 422 mg 4-(5H-dibenzo[a,d]cycloheptan-5-ylidene)piperidine, 234 mg isonicotinic acid N-oxide, and 274 mg 1-hydroxybenzotriazole hydrate in 5 mL CH2Cl2 at -15° under N and the whole allowed to warm to the ambient temp and stirred overnight to give 445 mg title compound II. The latter antagonized PAF-induced human blood platelet aggregation with IC50 = 2 μM, vs. 0.61 μM for the known PAF antagonist 8-chloro-6,11-dihydro-11-(1-acetyl-4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine as a pos. control.

IT 142714-87-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as platelet-activating factor antagonist and antihistamine)

RN 142714-87-2 CAPLUS

CN Piperidine, 1-[(1-oxido-4-pyridinyl)carbonyl]-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



L6 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:83546 CAPLUS

DN 116:83546

TI Preparation of ω-[4-[(hetero)arylidine]piperidino]alkanoates as antiallergic and antihistaminic agents

IN Ito, Yasuo; Kato, Hideo; Koshinaka, Eiichi; Ogawa, Nobuo; Nishino, Hiroyuki; Sakaguchi, Jun

PA Hokuriku Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW

DT Patent

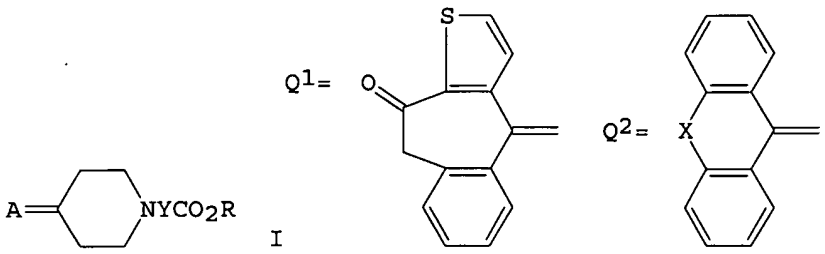
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 451772	A1	19911016	EP 1991-105567	19910409
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	JP 03294277	A	19911225	JP 1990-93968	19900411
	JP 04001193	A	19920106	JP 1990-97522	19900416
	CA 2038417	A1	19911012	CA 1991-2038417	19910315
PRAI	JP 1990-93968	A	19900411		
	JP 1990-97522	A	19900416		

OS MARPAT 116:83546

GI



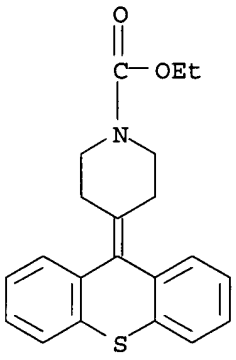
I

AB Title compds. [I; A = (hetero)arylidene groups Q1, Q2; R = H, alkyl; X = CH2S, S; Y = alkylene] were prepared Thus, 4-(9H-thioxanthen-9-cyclidene)piperidine (preparation given) was condensed with Br(CH2)3CO2Et to give, after saponification, I (A = Q2, R = H, X = S) [II; Y = (CH2)3]. II (Y = CH2CH2) gave 96% inhibition of passive cutaneous anaphylaxis in rats at 1 mg/kg orally.

IT 138248-26-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiallergics and antihistaminics)

RN 138248-26-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(9H-thioxanthen-9-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1987:213764 CAPLUS

DN 106:213764

TI Preparation of thioxanthene amino alcohol and its oxalate salt

IN Protiva, Miroslav; Kmonicek, Vojtech

PA Czech.

SO Czech., 3 pp.
CODEN: CZXXA9

DT Patent

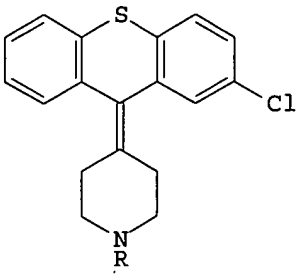
LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 235148	B1	19850515	CS 1984-766	19840202
PRAI	CS 1984-766		19840202		

OS CASREACT 106:213764

GI



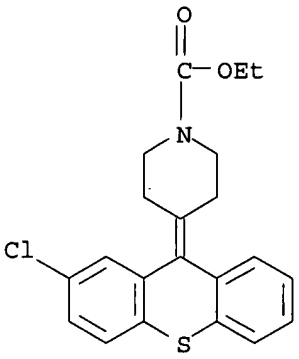
I, R=Me
II, R=CO_2Et
III, R=H
IV, R=CH_2CH_2OH

AB Thioxanthene I was demethylated with ClCO2Et in boiling C6H6, the resulting crude II (79%) was refluxed at 130° in alc. KOH, and 69% oily III was extracted with C6H6. Boiling III with BrCH2CH2OH in Me2CO containing K2CO3 gave 79% title compound IV which was converted to crystalline H oxalate salt. Both compds. had tranquilizing activity without cataleptic or extrapyramidal symptom side effects.

IT 94923-45-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacylation of)

RN 94923-45-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(2-chloro-9H-thioxanthen-9-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1986:420530 CAPLUS

DN 105:20530

TI Thioxanthenes used as pesticides

IN Traber, Walter; Fischer, Hanspeter

PA Ciba-Geigy A.-G. , Switz.

SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW

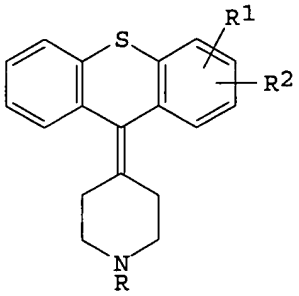
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 179020	A2	19860423	EP 1985-810466	19851014
	EP 179020	A3	19870325		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	US 4777177	A	19881011	US 1985-786380	19851010
	BR 8505222	A	19860729	BR 1985-5222	19851018
	JP 61106573	A	19860524	JP 1985-234387	19851019

PRAI CH 1984-5010 A 19841019
CH 1984-5011 A 19841019
CH 1985-3830 A 19850905
OS MARPAT 105:20530
GI

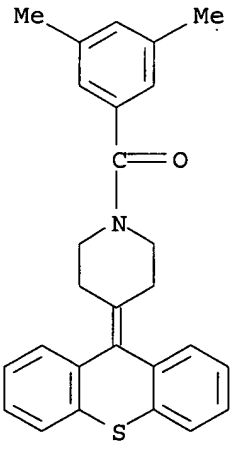


I

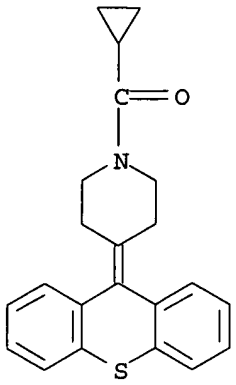
AB The thioxanthenylidenepiperidines I (R = H, alkyl, alkenyl, alkynyl, CN, etc.; R1,R2 = H, halo, alkyl, etc.) are prepared as acaricides, insecticides, and fungicides. Thus, 4-(2-chlorothioxanthen-9-ylidene)piperidine was refluxed with NaH in THF for 22 h, followed by the addition of EtI and refluxing for 24 h to give I (R = Et, R1 = 2-Cl, R2 = H) (II). Lucilia sericata Reared on a medium containing 0.1% II showed 80-100% mortality.

IT 102905-77-1P 102905-82-8P 102905-83-9P
102905-84-0P 102905-85-1P 102905-86-2P
102905-87-3P 102905-88-4P 102905-89-5P
102905-90-8P 102905-95-3P 102905-96-4P
102905-97-5P 102925-95-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as pesticides)

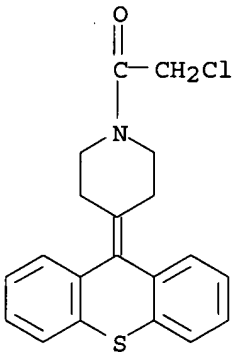
RN 102905-77-1 CAPLUS
CN Piperidine, 1-(3,5-dimethylbenzoyl)-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



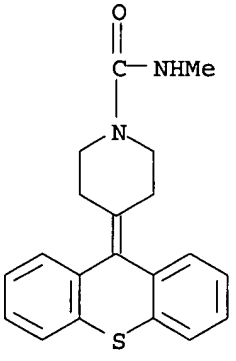
RN 102905-82-8 CAPLUS
CN Piperidine, 1-(cyclopropylcarbonyl)-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



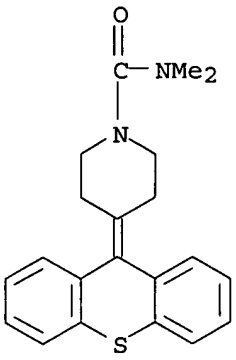
RN 102905-83-9 CAPLUS
CN Piperidine, 1-(chloroacetyl)-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



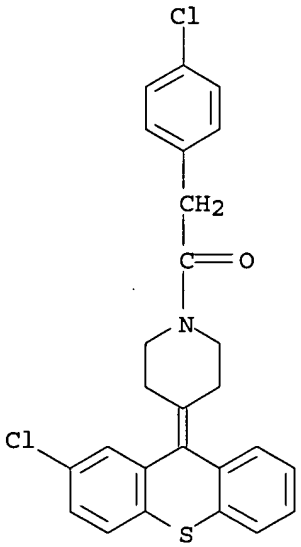
RN 102905-84-0 CAPLUS
CN 1-Piperidinecarboxamide, N-methyl-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



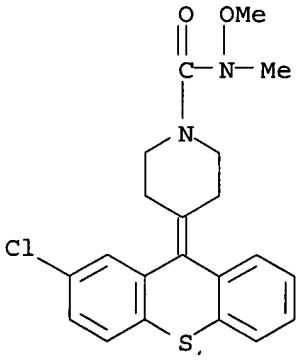
RN 102905-85-1 CAPLUS
CN 1-Piperidinecarboxamide, N,N-dimethyl-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



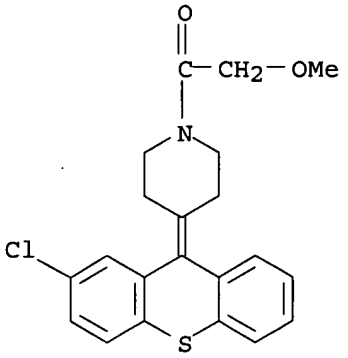
RN 102905-86-2 CAPLUS
CN Piperidine, 1-[(4-chlorophenyl)acetyl]-4-(2-chloro-9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



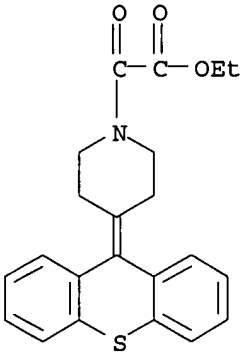
RN 102905-87-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(2-chloro-9H-thioxanthen-9-ylidene)-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)



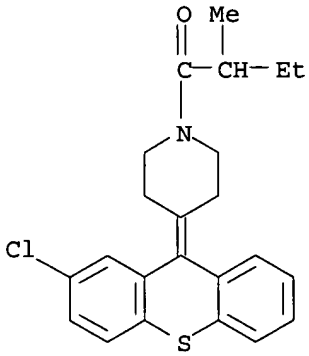
RN 102905-88-4 CAPLUS
CN Piperidine, 4-(2-chloro-9H-thioxanthen-9-ylidene)-1-(methoxyacetyl)- (9CI) (CA INDEX NAME)



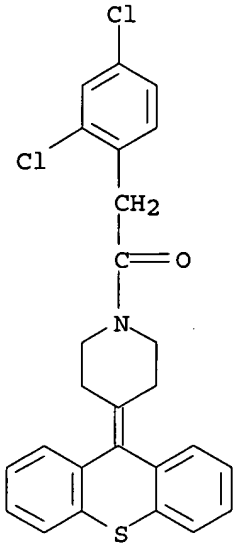
RN 102905-89-5 CAPLUS
CN 1-Piperidineacetic acid, α-oxo-4-(9H-thioxanthen-9-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



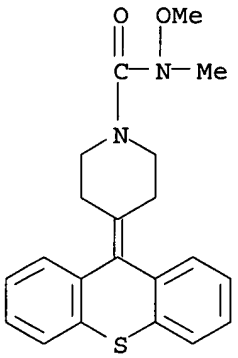
RN 102905-90-8 CAPLUS
CN Piperidine, 4-(2-chloro-9H-thioxanthen-9-ylidene)-1-(2-methyl-1-oxobutyl)- (9CI) (CA INDEX NAME)



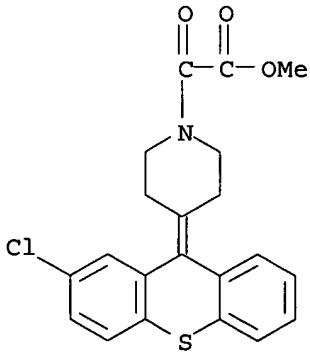
RN 102905-95-3 CAPLUS
CN Piperidine, 1-[(2,4-dichlorophenyl)acetyl]-4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



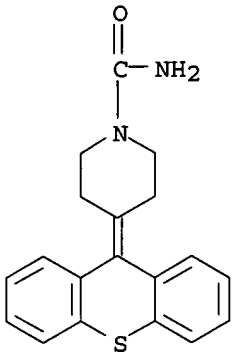
RN 102905-96-4 CAPLUS
CN 1-Piperidinecarboxamide, N-methoxy-N-methyl-4-(9H-thioxanthen-9-ylidene)-(9CI) (CA INDEX NAME)



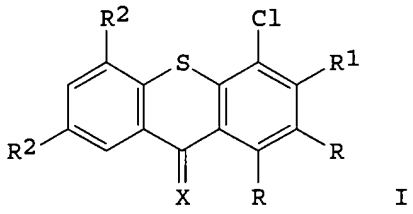
RN 102905-97-5 CAPLUS
CN 1-Piperidineacetic acid, 4-(2-chloro-9H-thioxanthen-9-ylidene)-α-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 102925-95-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-(9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)

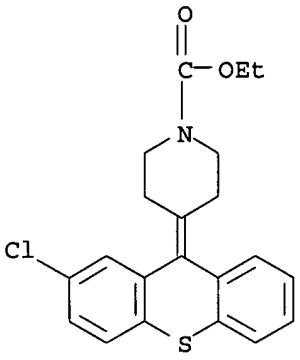


L6 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1985:149047 CAPLUS
DN 102:149047
TI Thioxanthene derivatives of pharmacological interest: 1,2,4-trichloro and 2,4,5,6-tetrachloro derivatives of 9-[3-(dimethylamino)propylidene]thioxanthene
AU Bartl, Vaclav; Kmonicek, Vojtech; Sedivy, Zdenek; Svatek, Emil; Protiva, Jiri; Protiva, Miroslav
CS Res. Inst. Pharm. Biochem., Prague, 130 60/3, Czech.
SO Collection of Czechoslovak Chemical Communications (1984), 49(10), 2295-308
CODEN: CCCCAK; ISSN: 0366-547X
DT Journal
LA English
OS CASREACT 102:149047
GI



I

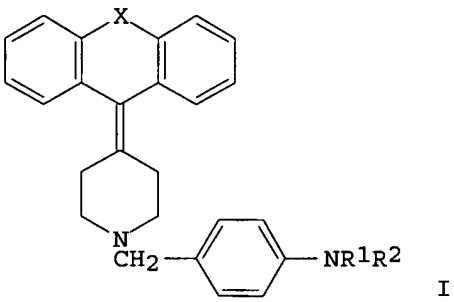
AB 2,3-Cl2C6H3SH and 2,4,5-Cl3C6H2SH underwent substitution reactions with 2,3,5-ICl2C6H2CO2H and 2-IC6H4CO2H, resp., and the resulting acids were cyclized to give thioxanthones I (X = O, R = H, R1 = R2 = Cl, R = Cl, R1 = R2 = H). Grignard reaction of these ketones with Me2N(CH2)3Cl afforded amino alcs. which were transformed by acid catalyzed dehydration to the title compds. I [X = Me2NCH2CH2CH, R = H, R1 = R2 = Cl (II), R = Cl, R1 = R2 = H (III)]. 2-Chloro-9-[1-(2-hydroxyethyl)-4-piperidinylidene]thioxanthone (IV) was obtained by a modified synthesis. II is inactive in CNS effects but has high inhibitory activity toward gram-pos. microorganisms. IV is a mild tranquilizer.
IT 94923-45-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkaline hydrolysis of)
RN 94923-45-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(2-chloro-9H-thioxanthen-9-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1983:53709 CAPLUS
DN 98:53709
TI Antiallergic or antihypertensive 1-piperidinylmethylbenzenamines
IN Deason, James R.; Partis, Richard A.
PA G.D. Searle and Co., USA
SO U.S., 5 pp. Cont. of U.S. Ser. No. 156,248, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

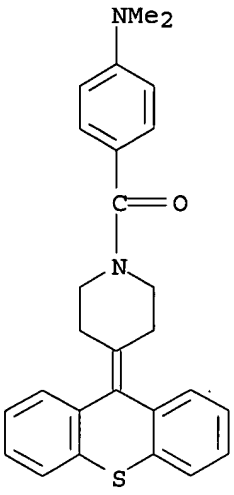
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4356184	A	19821026	US 1981-247568	19810325
PRAI US 1980-156248	A2	19800604		

OS CASREACT 98:53709; MARPAT 98:53709
GI



I

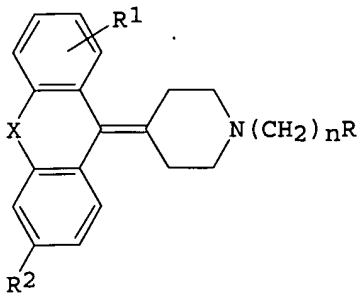
AB The title compds. I (R1, R2 = H, alkyl; X = S, CH2CH2) were prepared Thus, p-Me2NC6H4COCl was treated with 4-(9-thioxanthylidene)piperidine followed by reduction of the resulting methanone to give I (R1 = R2 = Me; X = S (II). II had antiallergic activity at 0.2-50 mg/kg and was antihypertensive at 12.5-15 mg/kg.
IT 84333-78-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 84333-78-8 CAPLUS
CN Piperidine, 1-[4-(dimethylamino)benzoyl]-4-(9H-thioxanthen-9-ylidene)-(9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:408028 CAPLUS
DN 93:8028
TI Xanthone and thioxanthone derivatives and compositions containing them
IN Lassen, Niels; Bogeso, Klaus Peter; Hansen, Peter Bregnedal; Buus, Jorn Lasse Martin; Bigler, Allan Johan
PA Kefalas A/S, Den.
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 5607	A1	19791128	EP 1979-300778	19790504
	EP 5607	B1	19831026		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 4285956	A	19810825	US 1979-35735	19790503
	AT 5141	T	19831115	AT 1979-300778	19790504
	DK 7901901	A	19791113	DK 1979-1901	19790509
	ZA 7902250	A	19800827	ZA 1979-2250	19790509
	FI 7901503	A	19791113	FI 1979-1503	19790510
	AU 7946941	A	19791115	AU 1979-46941	19790510
	AU 522926	B2	19820701		
	NO 7901592	A	19791113	NO 1979-1592	19790511
	NO 150837	B	19840917		
	NO 150837	C	19850109		
	ES 480468	A1	19800701	ES 1979-480468	19790511
	CA 1127648	A1	19820713	CA 1979-327464	19790511
	JP 54154772	A	19791206	JP 1979-57640	19790512
	US 4275209	A	19810623	US 1979-106353	19791221
	US 4309429	A	19820105	US 1979-105985	19791221
PRAI	GB 1978-19310		19780512		
	US 1979-35735	A3	19790503		
	EP 1979-300778	A	19790504		

OS MARPAT 93:8028
GI



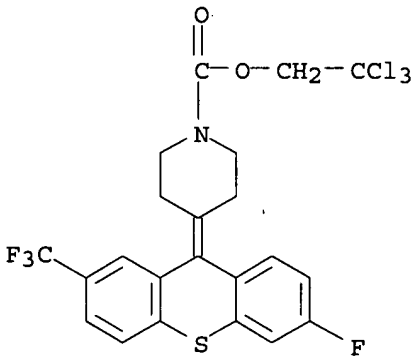
I

AB The neuroleptic compds. I (X = O, S; R = substituted cycloalkyl, optionally substituted heterocycle containing O and/or N; R1 = halogen, alkyl, alkoxy, SMe, SO2Me, SO2NMe2, CF3, Ac; R2 = H, F, Me; n = 0-3) were prepared Thus Grignard reaction of 2-trifluoromethyl-6-fluoro-9-thioxanthone with 4-chloro-1-methylpiperidine and dehydration of the alc. gave I (R = H, R1 = 2-CF3, R2 = F, X = S, n = 1), which was treated with ClCO2CH2CCl3 and decarboxylated to give I (X = S, R = H, R1 = 2-CF3, R2 = F, n = 0). This was acylated with trans-4-acetoxycyclohexanecarbonyl chloride, followed by LiAlH4 reduction to give I (X = S, R = trans-4-hydroxycyclohexyl, R1 = 2-CF3, R2 = F, n = 1; II). II had an amphetamine antagonist ED50 of 0.32 mg/kg i.p. in rats.

IT 73846-53-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decarboxylation of)

RN 73846-53-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[6-fluoro-2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

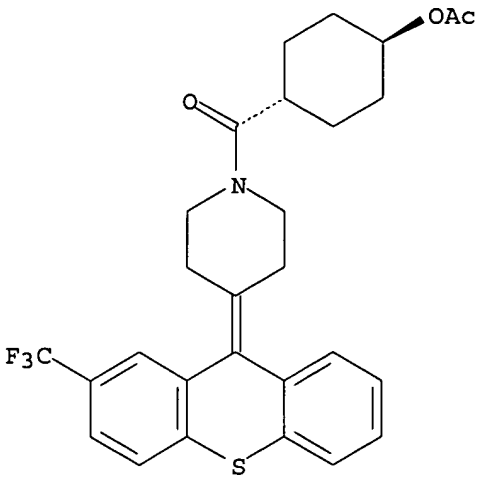


IT 73846-68-1P 73847-14-0P 73847-20-8P
73847-29-7P 73847-30-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 73846-68-1 CAPLUS

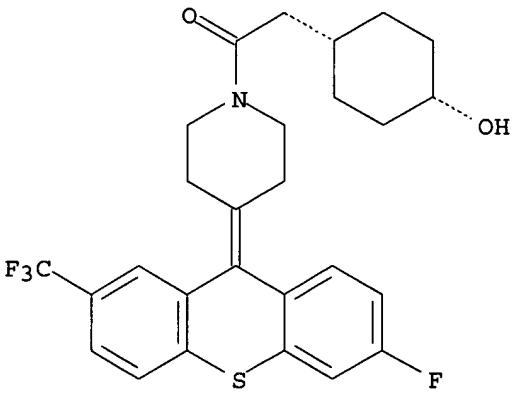
CN Piperidine, 1-[[4-(acetyloxy)cyclohexyl]carbonyl]-4-[2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

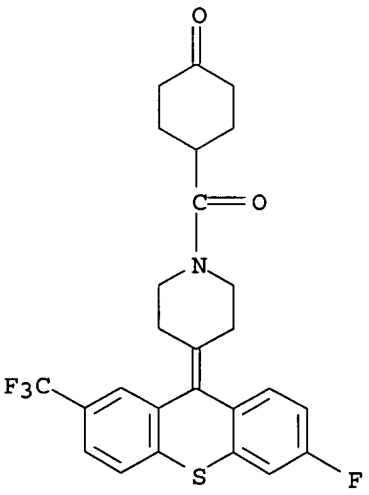


RN 73847-14-0 CAPLUS
CN Piperidine, 4-[6-fluoro-2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]-1-[(4-hydroxycyclohexyl)acetyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

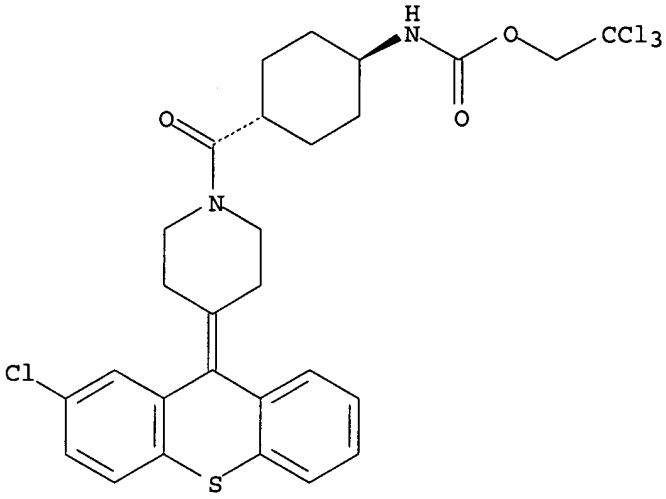


RN 73847-20-8 CAPLUS
CN Piperidine, 4-[6-fluoro-2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]-1-[(4-oxocyclohexyl)carbonyl]- (9CI) (CA INDEX NAME)



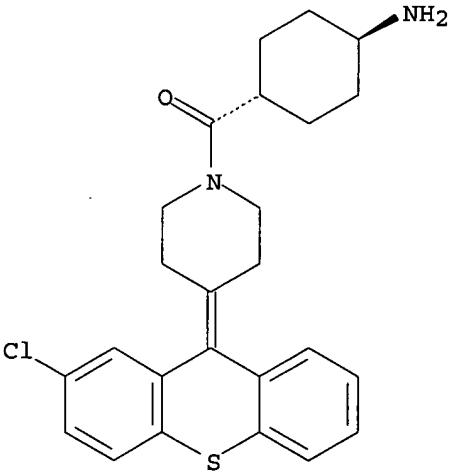
RN 73847-29-7 CAPLUS
CN Carbamic acid, [4-[[4-(2-chloro-9H-thioxanthen-9-ylidene)-1-piperidinyl]carbonyl]cyclohexyl]-, 2,2,2-trichloroethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 73847-30-0 CAPLUS
CN Piperidine, 1-[(4-aminocyclohexyl)carbonyl]-4-(2-chloro-9H-thioxanthen-9-ylidene)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



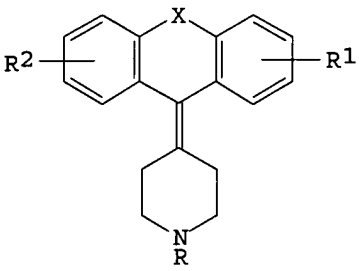
L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1977:121184 CAPLUS
DN 86:121184
TI Piperidylidene derivatives
PA Smithkline Corp., USA
SO Fr. Demande, 35 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	FR 2290202	A1	19760604	FR 1975-33631	19751104
	FR 2290202	B1	19800523		
	ZA 7506550	A	19760929	ZA 1975-6550	19751016
	AU 7586247	A	19770505	AU 1975-86247	19751031
	AU 498298	B2	19790301		
	CA 1055945	A1	19790605	CA 1975-238781	19751031
	IL 48400	A	19790131	IL 1975-48400	19751102
	HU 174639	B	19800228	HU 1975-SI1494	19751103
	BE 835224	A1	19760504	BE 1975-161500	19751104
	DK 7504957	A	19760507	DK 1975-4957	19751104
	DK 139429	B	19790219		
	DK 139429	C	19790806		
	NL 7512974	A	19760510	NL 1975-12974	19751105
	JP 51070768	A	19760618	JP 1975-133564	19751105
	JP 58026754	B	19830604		
	ES 442357	A1	19770401	ES 1975-442357	19751105
	CH 624403	A5	19810731	CH 1975-14321	19751105
	JP 62020190	B	19870506	JP 1976-1409	19760101
PRAI	US 1974-521216	A	19741106		

OS MARPAT 86:121184

GI



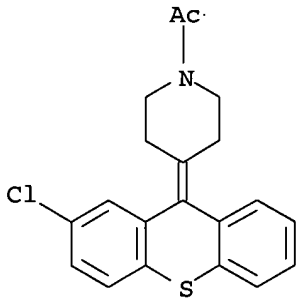
I

AB Piperidylidene derivs. I (R = H, Me, CH2CH2OH, Et, Bu, cyclobutylmethyl, Pr, (CH2)3OH; R1 = 2-Cl, 2-CF3, 2-SMe, 2-F, 2-Br, 2-CN, 3-F, 3-Cl; R2 = H, 6-Cl, 6-F; X = O, S; R = Me, R1 = H, 3-Cl, R2 = H, 9-Cl, X = OCH2) were prepared for use as tranquilizers without extrapyramidal side-effects. Grignard reaction of 4-chloro-1-methylpiperidine with 2-chloroxanthone and dehydration of the resulting alc. gave I (R = Me, R1 = 2-Cl, R2 = H, X = O).

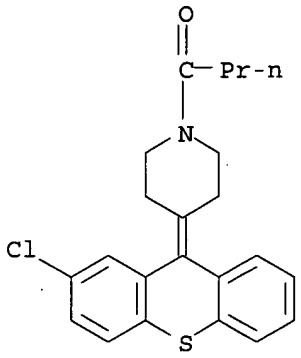
IT 60086-30-8P 60086-31-9P 60132-03-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 60086-30-8 CAPLUS

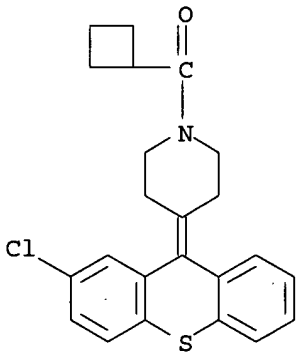
CN Piperidine, 1-acetyl-4-(2-chloro-9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



RN 60086-31-9 CAPLUS
CN Piperidine, 4-(2-chloro-9H-thioxanthen-9-ylidene)-1-(1-oxobutyl)- (9CI) (CA INDEX NAME)



RN 60132-03-8 CAPLUS
CN Piperidine, 4-(2-chloro-9H-thioxanthen-9-ylidene)-1-(cyclobutylcarbonyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1976:478025 CAPLUS
DN 85:78025
TI Piperidylidene derivatives and their salts
IN Zirkle, Charles L.
PA Smithkline Corp., USA
SO Ger. Offen., 46 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2549841	A1	19760513	DE 1975-2549841	19751106
	DE 2549841	C2	19880707		
	ZA 7506550	A	19760929	ZA 1975-6550	19751016
	AU 7586247	A	19770505	AU 1975-86247	19751031
	AU 498298	B2	19790301		
	CA 1055945	A1	19790605	CA 1975-238781	19751031
	IL 48400	A	19790131	IL 1975-48400	19751102
	HU 174639	B	19800228	HU 1975-SI1494	19751103
	BE 835224	A1	19760504	BE 1975-161500	19751104
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	DK 139429	B	19790219		
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	JP 51070768	A	19760618	JP 1975-133564	19751105
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	ES 442357	A1	19770401	ES 1975-442357	19751105
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	JP 62020190	B	19870506	JP 1976-1409	19760101
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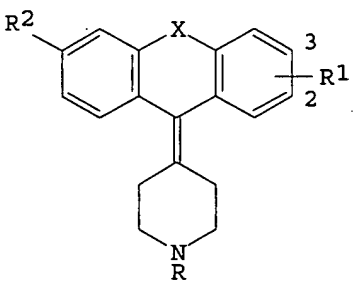
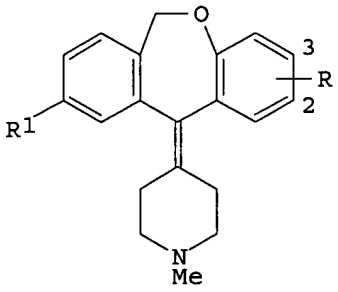


I



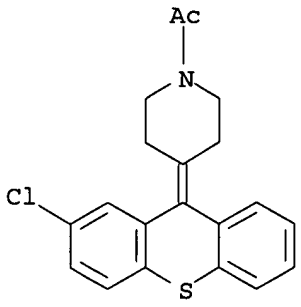
II

AB Piperidylidene derivs. I [R = H, Me, Et, Pr, Bu, CH2CH2OH, (CH2)3OH, cyclobutylmethyl; R1 = 2-Cl, 3-Cl, 2-MeS 2-F, 2-Br, 2-CF3, 2-cyano; R2 = H, Cl, F; X = O, S] and(or) their HCl, maleic acid, MeSO3H, or furmatic acid salts (29 compds.) and II (R = H, R1 = Cl and HCl salt; R = 3-Cl, R1 = H), useful as tranquilizers, were prepared by 6 methods. Thus, e.g., Grignard reaction of 4-chloro-1-methylpiperidine with 2-chloroxanthone gave 2-chloro-9-(1-methyl-4-piperidyl)xanthen-9-ol, which was dehydrated with o-HO3SC6H4CO2H anhydride in EtCO2H to give I (R = Me, R1 = 2-Cl, R2 = H, X = O), isolated as the maleateee. Treatment of I (R = Me) with BrCN gave I (R = cyano) which were hydrolyzed to I (R = H). These were alkylated or acrylated with subsequent reduction Tables showing the antipsychotic and extrapyramidal activity of I and II were given.

IT 60086-30-8 60086-31-9 60132-03-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

RN 60086-30-8 CAPLUS

CN Piperidine, 1-acetyl-4-(2-chloro-9H-thioxanthen-9-ylidene)- (9CI) (CA INDEX NAME)



RN 60086-31-9 CAPLUS
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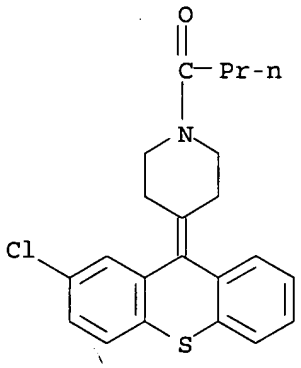

RN 60132-03-8 CAPLUS
CN Piperidine, 4-(2-chloro-9H-thioxanthen-9-ylidene)-1-(cyclobutylcarbonyl)- (9CI) (CA INDEX NAME)